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(54) Title: NON-STEROIDAL FARNESOID X RECEPTOR MODULATORS AND METHODS FOR THE USE THEREOF

(57) Abstract: The efficient regulation of cholesterol synthesis, metabolism, acquisition, and transport is an essential component of lipid homeostasis. The farnesoid X receptor (FXR) is a transcriptional sensor for bile acids, the primary product of cholesterol metabolism. Accordingly, the development of potent, selective, small molecule agonists, partial agonists, and antagonists of FXR would be an important step in further deconvoluting FXR physiology. In accordance with the present invention, the identification of novel potent FXR activators is described. Two derivatives of invention compounds, bearing stilbene or biaryl moieties, contain members that are the most potent FXR agonists reported to date in cell-based assays. These compounds are useful as chemical tools to further define the physiological role of FXR as well as therapeutic leads for the treatment of diseases linked to cholesterol, bile acids and their metabolism and homeostasis.